

STN- Structure Search

12-1-05

10/523,911

=> d ibib abs hitstr 1-4

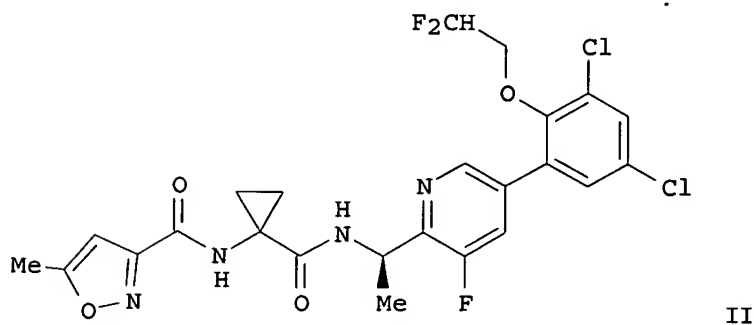
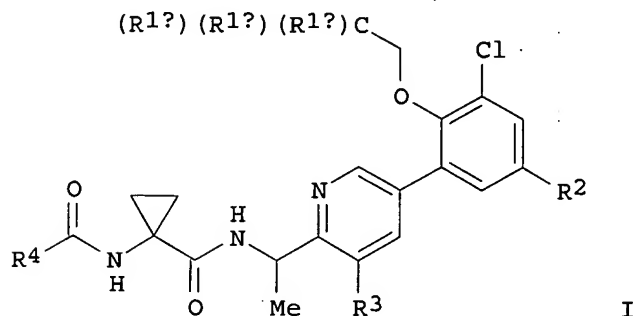
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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1004708 CAPLUS
DOCUMENT NUMBER: 143:306182
TITLE: Preparation of 1-aminocyclopropane-1-carboxamide derivatives as bradykinin B1 antagonists
INVENTOR(S): Bock, Mark G.; Feng, Dong-Mei; Kuduk, Scott
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085198	A2	20050915	WO 2005-US6230	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
GI

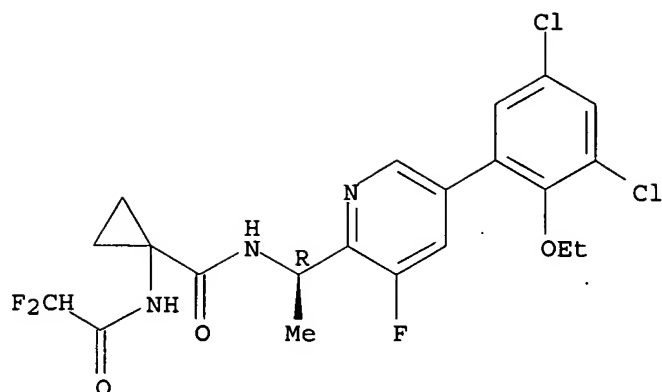
US 2004-549379P

P 20040302



AB Title compds. I [wherein R1a, R1b, R1c = H or F; R2 = H or Cl; R3 = Cl or

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IT 864642-89-7P

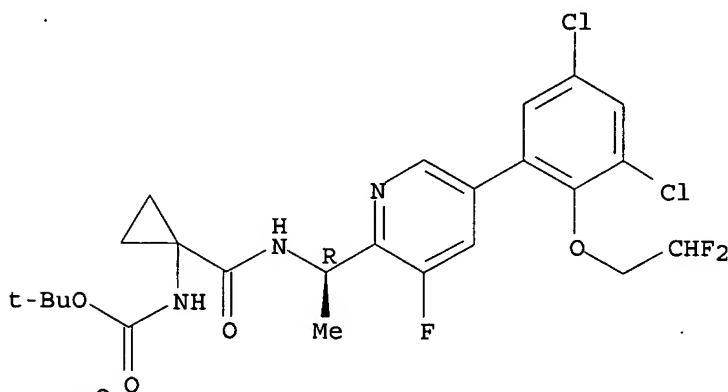
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminocyclopropanecarboxamide derivs. as bradykinin B1 antagonists)

RN 864642-89-7 CAPLUS

CN Carbamic acid, [1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:203618 CAPLUS

DOCUMENT NUMBER: 140:253570

TITLE: Preparation of N-biarylmethylaminocycloalkanecarboxamide as bradykinin B1 antagonists

INVENTOR(S): Kuduk, Scott D.; Wood, Michael R.; Bock, Mark G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

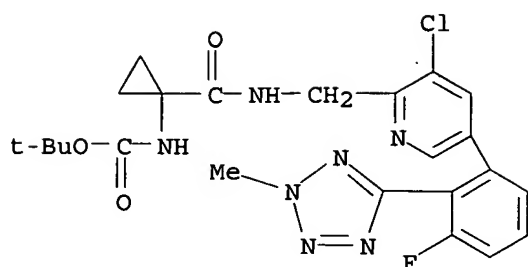
DOCUMENT TYPE: Patent

LANGUAGE: English

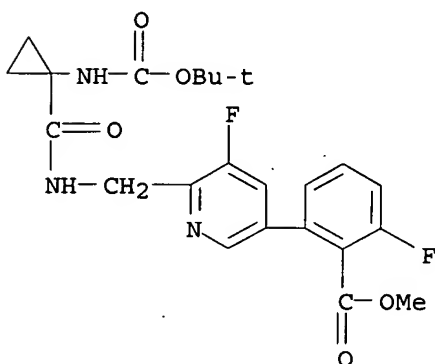
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019868	A2	20040311	WO 2003-US26628	20030825



RN 669066-38-0 CAPLUS
CN Benzoic acid, 2-[6-[[[1-[(1,1-dimethylethoxy)carbonyl]amino]cyclopropyl]
carbonyl]amino]methyl]-5-fluoro-3-pyridinyl]-6-fluoro-, methyl ester (9CI)
(CA INDEX NAME)



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:767278 CAPLUS
DOCUMENT NUMBER: 138:331190
TITLE: Synthesis and biological activity of potent
heterocyclic thiol-based inhibitors of
endothelin-converting enzyme-1
AUTHOR(S): Firooznia, Fariborz; Gude, Candido; Chan, Kenneth;
Tan, Jenny; Fink, Cynthia A.; Savage, Paula; Beil,
Michael E.; Bruseo, Charles W.; Trapani, Angelo J.;
Jeng, Arco Y.
CORPORATE SOURCE: Metabolic and Cardiovascular Diseases, Novartis
Institute for Biomedical Research, Summit, NJ, 07901,
USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),
12(21), 3059-3062
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:331190
AB Directed screening of metalloprotease inhibitors identified CGS 30084 (1)
as a potent inhibitor of endothelin-converting enzyme-1 (ECE-1) in vitro
(IC50=77 nM). Herein we report the syntheses and biol. activities of
analogs containing modified biphenyl moieties, bearing heterocyclic proximal
rings. Compound 20, the thioacetate Et ester prodrug derivative of compound
19a, was found to be an orally active and potent inhibitor of ECE-1 activity in
rats.

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IT 248924-62-1P 248924-67-6P 248924-90-5P
248924-95-0P 516491-47-7P

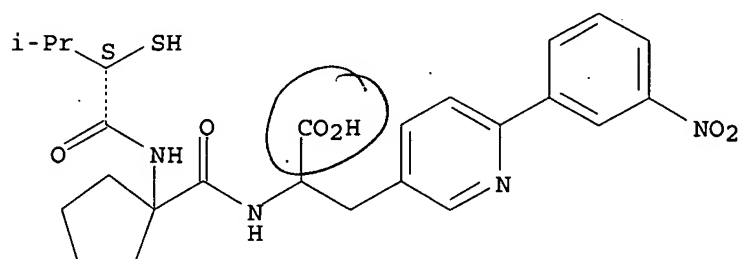
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(synthesis and biol. activity of CGS 30084 analogs as inhibitors of
endothelin-converting enzyme-1)

RN 248924-62-1 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)]- (9CI) (CA INDEX NAME)

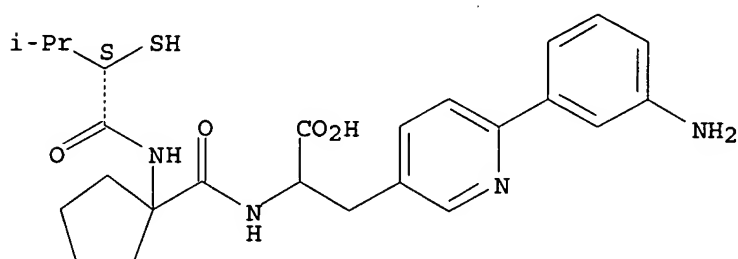
Absolute stereochemistry.



RN 248924-67-6 CAPLUS

CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)- α -[[[1-[[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]]- (9CI) (CA INDEX NAME)

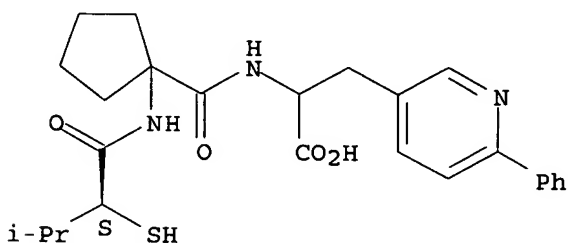
Absolute stereochemistry.



RN 248924-90-5 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



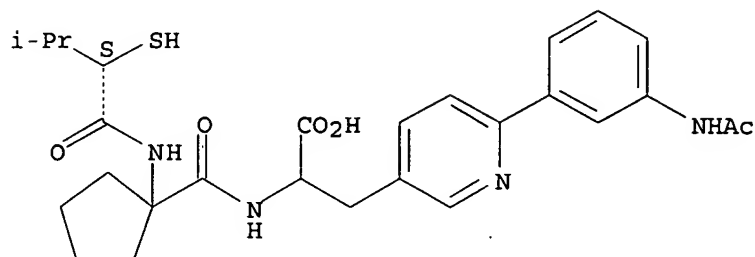
RN 248924-95-0 CAPLUS

CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]- α -[[[1-[[[(2S)-2-

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mercapto-3-methyl-1-oxobutyl] amino] cyclopentyl] carbonyl] amino] - (9CI) (CA INDEX NAME)

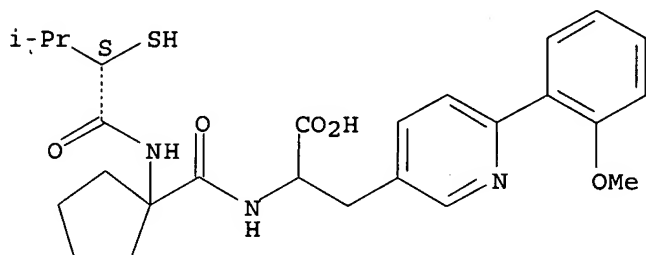
Absolute stereochemistry.



RN 516491-47-7 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-mercapto-3-methyl-1-oxobutyl] amino] cyclopentyl] carbonyl] amino]-6-(2-methoxyphenyl)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 248924-64-3P 248924-65-4P 248924-66-5P

248924-94-9P 516491-41-1P 516491-42-2P

516491-43-3P 516491-56-8P 516491-57-9P

516491-58-0P 516491-66-0P 516491-67-1P

516491-68-2P 516491-69-3P 516491-75-1P

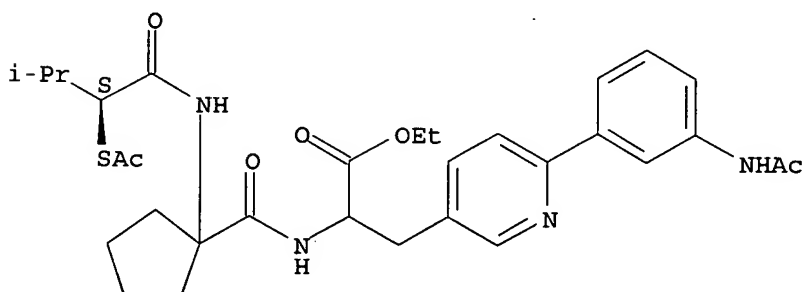
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol. activity of CGS 30084 analogs as inhibitors of endothelin-converting enzyme-1)

RN 248924-64-3 CAPLUS

CN 3-Pyridinepropanoic acid, 6-[3-(acetylthio)phenyl]- α -[[[1-[[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl] amino] cyclopentyl] carbonyl] amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

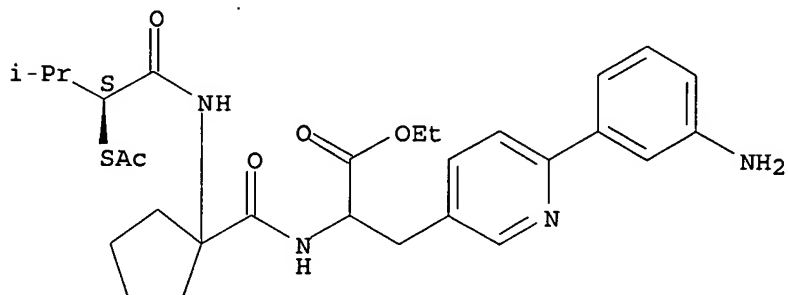


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RN 248924-65-4 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-aminophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

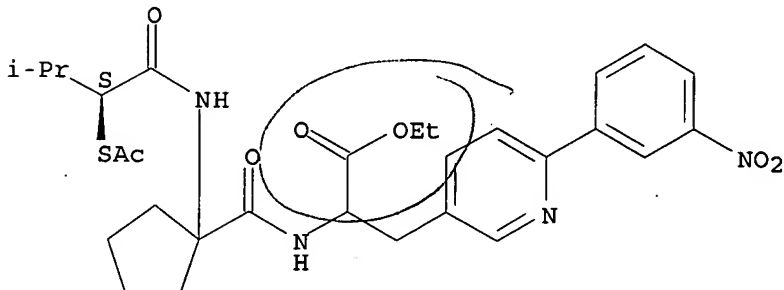
Absolute stereochemistry.



RN 248924-66-5 CAPLUS

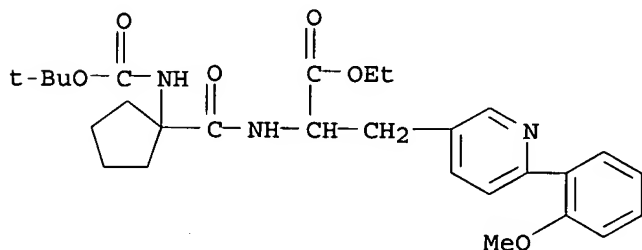
CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 248924-94-9 CAPLUS

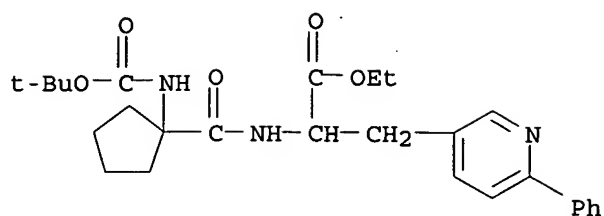
CN 3-Pyridinepropanoic acid, α -[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 516491-41-1 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

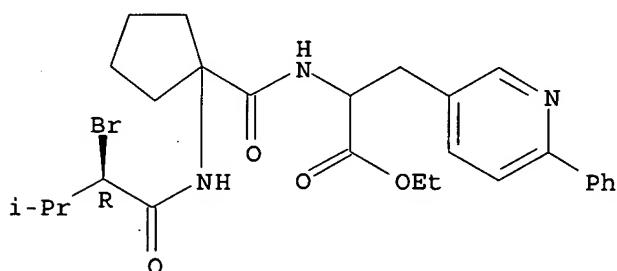
10/523,911



RN 516491-42-2 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl-, ethyl ester (9CI)
(CA INDEX NAME)

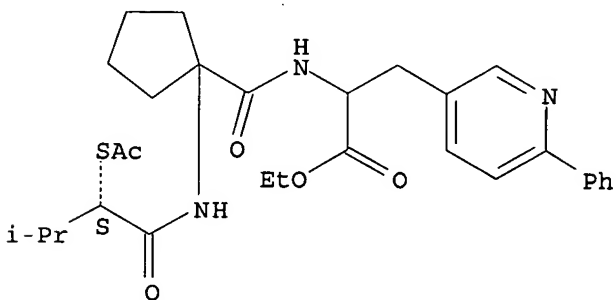
Absolute stereochemistry.



RN 516491-43-3 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl-, ethyl ester (9CI)
(CA INDEX NAME)

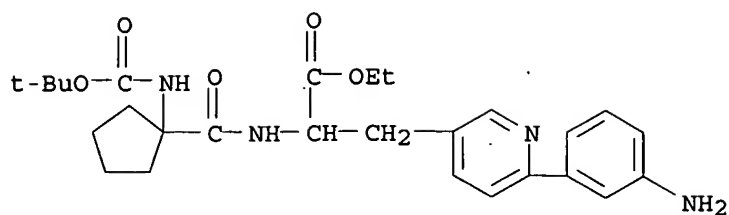
Absolute stereochemistry.



RN 516491-56-8 CAPLUS

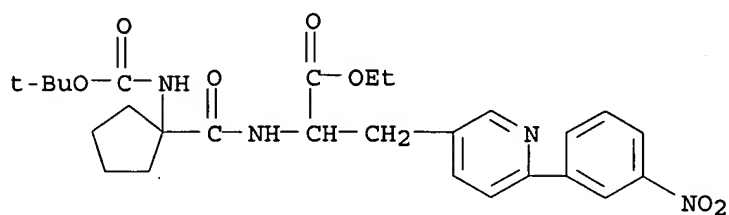
CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)- α -[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI)
(CA INDEX NAME)

10/523,911



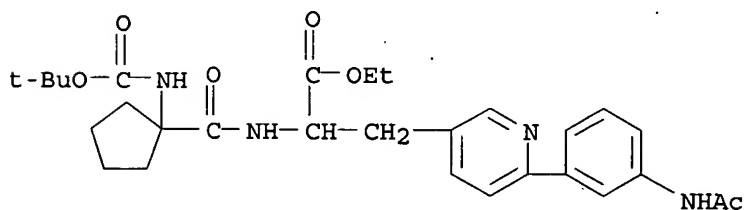
RN 516491-57-9 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 516491-58-0 CAPLUS

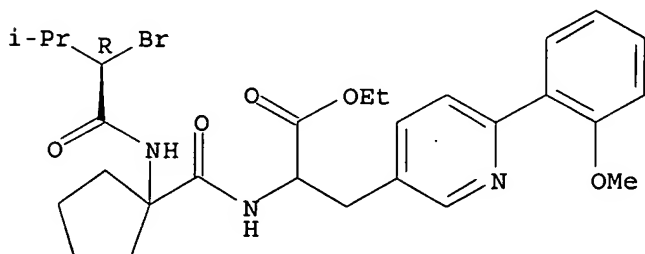
CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]- α -[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 516491-66-0 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



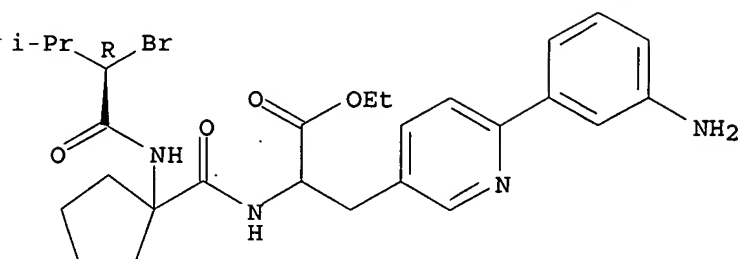
RN 516491-67-1 CAPLUS

CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)- α -[[[1-[[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI)

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(CA INDEX NAME)

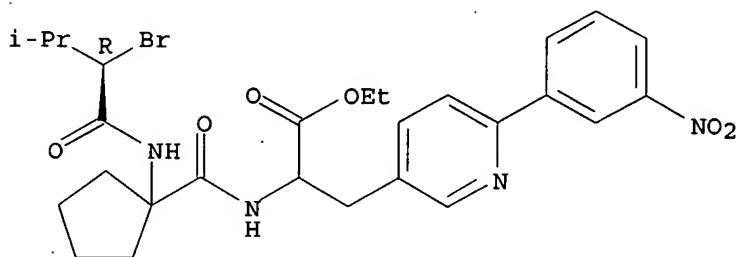
Absolute stereochemistry.



RN 516491-68-2 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

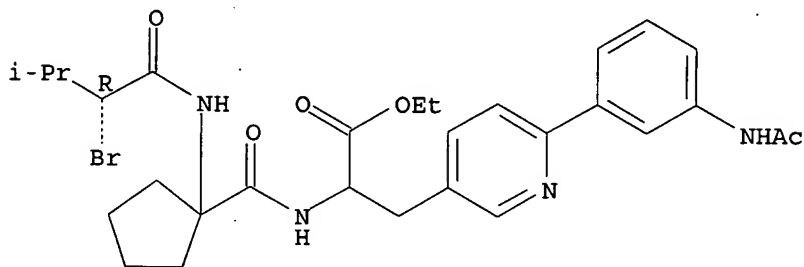
Absolute stereochemistry.



RN 516491-69-3 CAPLUS

CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]- α -[[[1-[[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

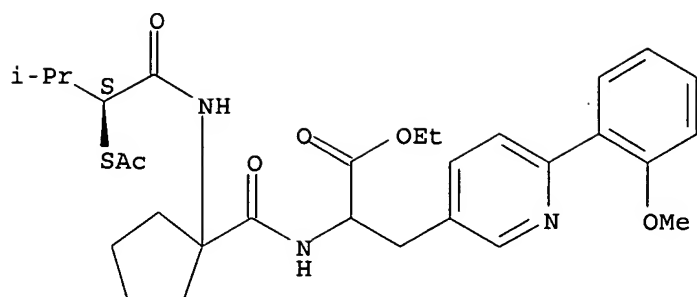
Absolute stereochemistry.



RN 516491-75-1 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



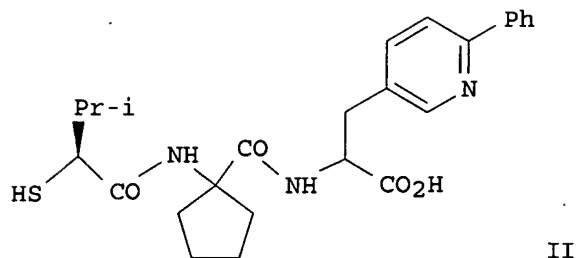
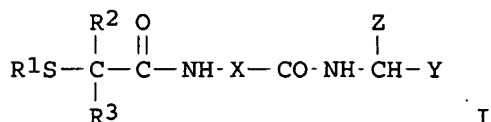
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 X
 ACCESSION NUMBER: 1999:708784 CAPLUS
 DOCUMENT NUMBER: 131:322913
 TITLE: Preparation of heteroaryl substituted amino acid
 thiols as inhibitors of endothelin-converting enzyme
 INVENTOR(S): Fink, Cynthia Anne; Firoozina, Fariborz
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Erfindungen
 Verwaltungsgesellschaft mbH
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955723	A1	19991104	WO 1999-EP2694	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2324251	AA	19991104	CA 1999-2324251	19990421
AU 9940319	A1	19991116	AU 1999-40319	19990421
AU 750048	B2	20020711		
EP 1080104	A1	20010307	EP 1999-923433	19990421
EP 1080104	B1	20050209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002513029	T2	20020508	JP 2000-545881	19990421
JP 3646062	B2	20050511		
AT 288922	E	20050215	AT 1999-923433	19990421
PT 1080104	T	20050630	PT 1999-923433	19990421
ES 2237917	T3	20050801	ES 1999-923433	19990421
ZA 2000004679	A	20020306	ZA 2000-4679	20000906
US 6426354	B1	20020730	US 2000-690061	20001016
US 2003018057	A1	20030123	US 2002-171321	20020613
US 6689801	B2	20040210		
PRIORITY APPLN. INFO.:				
			US 1998-172258P	P 19980423
			US 1998-64979	A 19980423
			WO 1999-EP2694	W 19990421

OTHER SOURCE(S):
GI

MARPAT 131:322913



II

AB Heteroaryl substituted amino acid thiols I wherein X = CA; Z = (CH₂)_m-Het-Ar; Het = monocyclic heterocyclic aryl; Ar represents carbocyclic or heterocyclic aryl; R₁ = H, acyl; R₂ = H, alkyl, carbocyclic or heterocyclic aryl, cycloalkyl, biaryl; R₃ = H or alkyl; or R₂ and R₃ together with the carbon atom to which they are attached represent cycloalkylidene or benzo-fused cycloalkylidene; R₄ = H, alkyl, aryl; R₅ = alkyl, aryl; A together with the carbon atom to which it is attached forms a ring and represents 3 to 10 membered cycloalkylidene or 5 to 10 membered cycloalkenylidene radical which may be substituted by alkyl or aryl or may be fused to a saturated or unsatd. carbocyclic 5-7-membered ring; or A together with the carbon to which it is attached represents 5 to 6 membered oxacycloalkylidene, thiacycloalkylidene or azacycloalkylidene; m is zero or 1-3; Y represents 5-tetrazolyl, carboxyl or carboxyl derivatized in form of a pharmaceutically acceptable ester; a disulfide derivative derived from a said compound wherein R₁ is hydrogen, were prepared as

as inhibitors of endothelin-converting enzyme. Thus, amino acid II was prepared as inhibitor of endothelin-converting enzyme (no data).

IT 248924-62-1P 248924-67-6P 248924-68-7P
248924-90-5P 248924-93-8P 248924-95-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

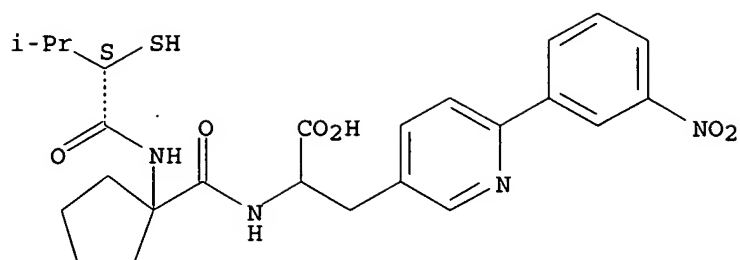
(preparation of heteroaryl substituted amino acid thiols as inhibitors of endothelin converting enzyme)

RN 248924-62-1 CAPLUS

CN 3-Pyridinepropanoic acid, α-[[[1-[[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

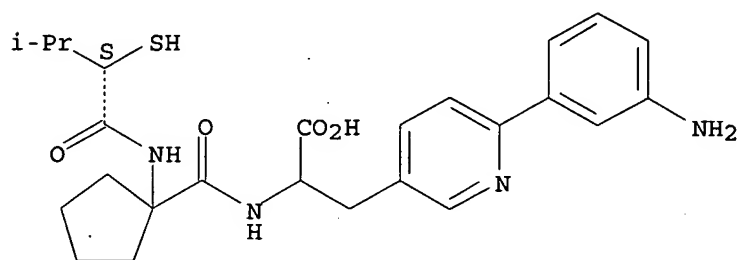
10/523,911



RN 248924-67-6 CAPLUS

CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)-α-[[[1-[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

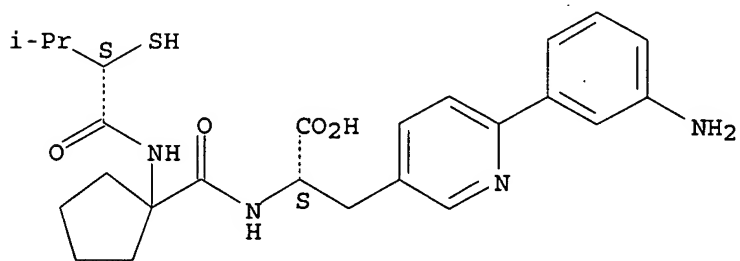
Absolute stereochemistry.



RN 248924-68-7 CAPLUS

CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)-α-[[[1-[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, hydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



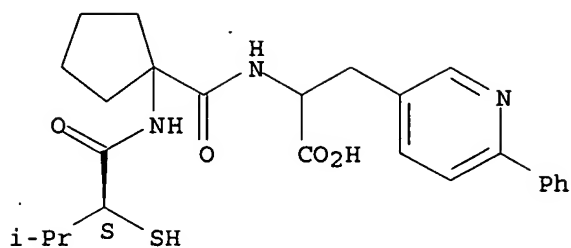
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RN 248924-90-5 CAPLUS

CN 3-Pyridinepropanoic acid, α-[[[1-[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

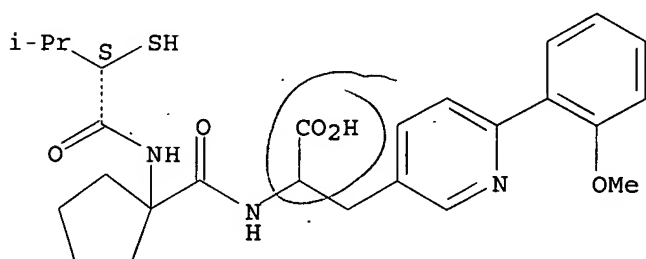
10/523,911



RN 248924-93-8 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

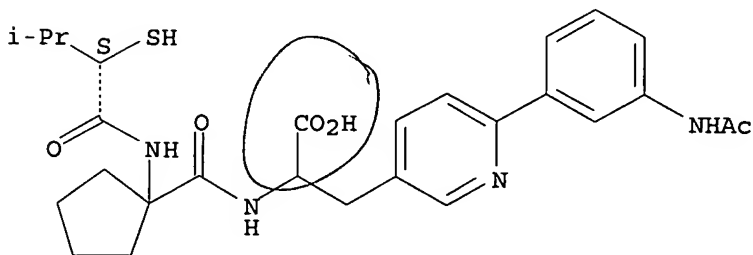


● HCl

RN 248924-95-0 CAPLUS

CN 3-Pyridinepropanoic acid, 6-[3-(acetylthio)phenyl]- α -[[[1-[[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 248924-66-5

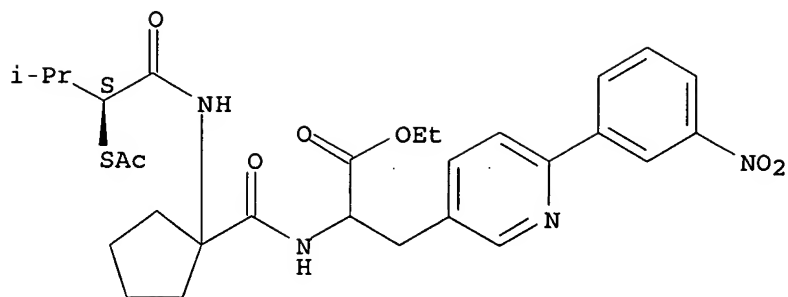
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heteroaryl substituted amino acid thiols as inhibitors of endothelin converting enzyme)

RN 248924-66-5 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/523,911



IT 248924-64-3P 248924-65-4P 248924-92-7P
248924-94-9P

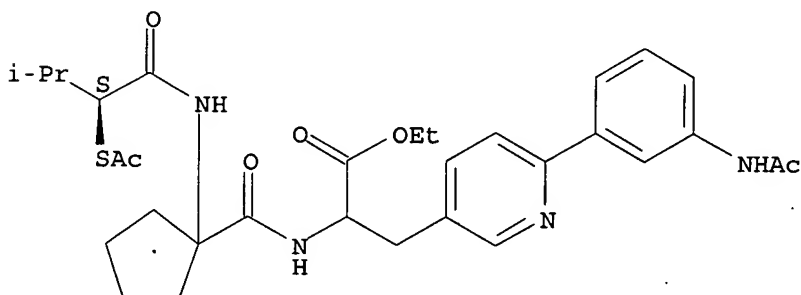
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroaryl substituted amino acid thiols as inhibitors of endothelin converting enzyme)

RN 248924-64-3 CAPLUS

CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]-α-[[[1-[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

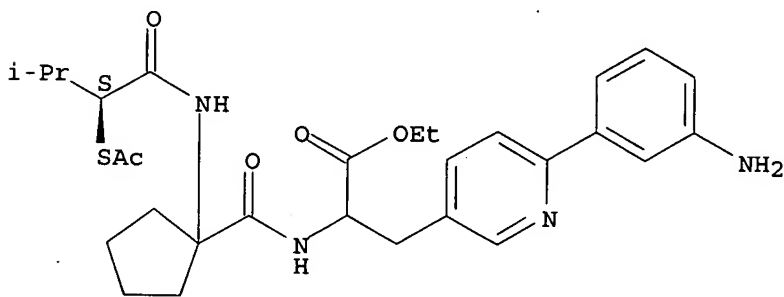
Absolute stereochemistry.



RN 248924-65-4 CAPLUS

CN 3-Pyridinepropanoic acid, α-[[[1-[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-aminophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

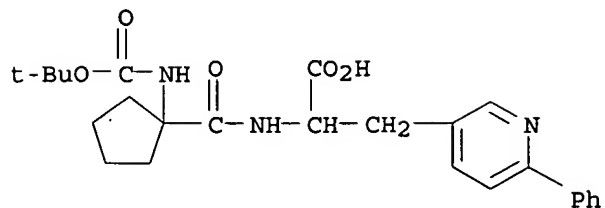


RN 248924-92-7 CAPLUS

CN 3-Pyridinepropanoic acid, α-[[[1-[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl- (9CI)

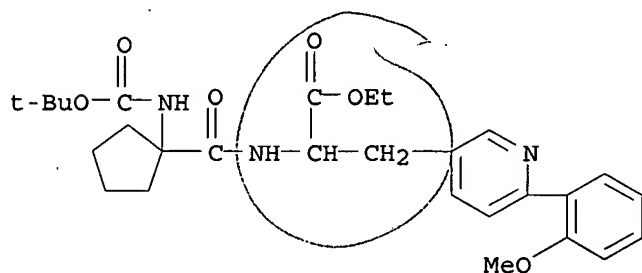
10/523,911

(CA INDEX NAME)



RN 248924-94-9 CAPLUS

CN 3-Pyridinepropanoic acid, α -[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 10:34:46 ON 01 DEC 2005)

FILE 'REGISTRY' ENTERED AT 10:35:07 ON 01 DEC 2005

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L3 138 S L1 FULL

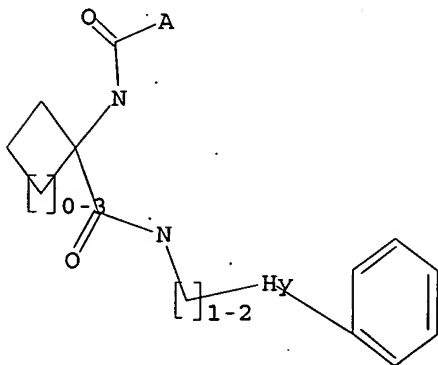
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L4 4 S L3

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L1 HAS NO ANSWERS

L1 STR



10/523,911

Structure attributes must be viewed using STN Express query preparation.

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